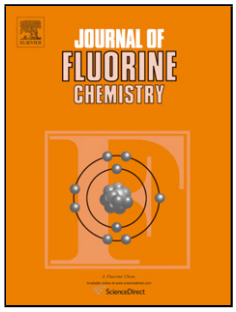


Title: Metal-free trifluoromethylthiolation of arenediazonium salts with Me_4NSCF_3

Authors: Giulia Bertoli, Benjamin Exner, Mathies V. Evers, Kristina Tschulik, Lukas J. Gooßen

PII: S0022-1139(18)30095-2
DOI: https://doi.org/10.1016/j.jfluchem.2018.03.011
Reference: FLUOR 9139

To appear in: *FLUOR*

Received date: 28-2-2018
Revised date: 23-3-2018
Accepted date: 24-3-2018

Please cite this article as: Bertoli G, Exner B, Evers MV, Tschulik K, Gooßen LJ, Metal-free trifluoromethylthiolation of arenediazonium salts with Me_4NSCF_3, *Journal of Fluorine Chemistry* (2010), https://doi.org/10.1016/j.jfluchem.2018.03.011

Metal-free trifluoromethylthiolation of arenediazonium salts with Me_4NSCF_3

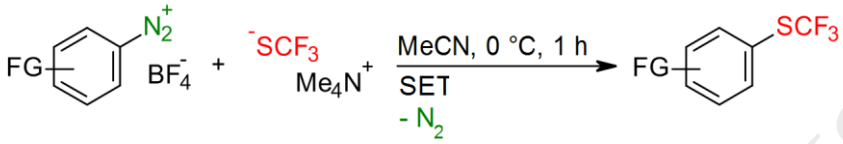
Giulia Bertoli[a], Benjamin Exner[a], Mathies V. Evers[b], Kristina Tschulik[b] and Lukas J. Gooßen[a,*]

[a] Fakultät für Chemie und Biochemie, Ruhr-Universität Bochum, Universitätsstraße 150, ZEMOS 2.27, 44801 Bochum, Germany.

[b] Micro- & Nano-Electrochemistry, Center for Electrochemical Sciences (CES), Ruhr-Universität Bochum, ZEMOS 1.45, Universitätsstr. 150, 44801, Bochum, Germany.

*E-Mail address: lukas.goossen@rub.de, phone: +49 234 32 19075, fax: +49 234 32 14675

Graphical abstacrt



Highlights

- Arenediazonium salts are converted into aryl trifluoromethyl thioethers without the use of any metal mediators.
- The transformation is based on readily available starting materials and tolerates various functional groups.
- Cyclic voltammetry was used to elucidate the reaction mechanism.
- The aryltrifluoromethyl thioether products are interesting for applications in drug discovery.

Abstract

A metal-free entry to the pharmaceutically meaningful substrate class of trifluoromethyl thioethers has been developed starting from widely available arenediazonium salts and commercially available $Me_4N^+SCF_3^-$. This reaction proceeds within one hour at 0 °C and is applicable to a wide range of functionalized substrates.

Keywords: trifluoromethylthiolations; arenediazonium salts; trifluoromethyl thioethers; radicals; cyclovoltammetry

1. Introduction

Fluorine-containing molecules are abundant in pharmaceuticals, agrochemicals, material and surface sciences.[1] Their increased lipophilicity compared to the non-fluorinated analogs allows modulating solubility, bioavailability and adhesive properties. Hence, powerful methods for the selective introduction of fluorinated residues into functionalized molecules are constantly sought. In this context, SCF_3 groups, which induce particularly high lipophilicity and membrane permeability[2] have recently received increased attention. Examples of biologically active compounds containing SCF_3 groups include vaniliprole, toltrazuril and tiflorex (Figure 1).